

TOLDI, LEA,  
HUNGARY

LAZAR, Dezso, Dr. JANOS, Laszlo, Dr. LAZAR, Dezso Mrs, Dr. TOLDI, Lea,  
Dr; Hospital of the City Council of Nagykanizsa (Nagykanizsai Varosi  
Tanacs Korhaza).

"Disinfection of the Hands with Ritosept Before Surgery."

Budapest, Magyar Sebeszet, Vol XVI, No 2, May 1963, pages 97-101.

Abstract: [Authors' Hungarian summary modified] Comparative clinical observations and 150 bacteriological tests were conducted on 1000 cases of surgery where Ritosept or the Szpazokukookij and Koosergin ammoniacal disinfectant were used for handwash. According to the results, Ritosept insured almost 100 per cent sterility while the above mentioned Russian method only 75 per cent and the classical Furbinger technique only 60 per cent. After long surgical procedures the culture taken from the gloves was also almost 100 per cent sterile when Ritosept was used. It is concluded that Ritosept is an excellent, reliable hand disinfectant which simplifies surgical washing considerably. It can be used well along with soap and is well tolerated by the skin. 1 Western, 8 Hungarian references.

1/1

SZABO, Gyorgy, az orvostud.doktora; TOLDI, Mihaly, az orvostud.doktora;  
MAGYAR, Zsuzsa

The effect of rutin on capillary permeability. Biol orv kozl MTA 11  
no.4:419-424 '60. (EEAI 10:5)

1. Budapesti Orvostudományi Egyetem I. sz. Belklinikája és a Magyar  
Tudományos Akadémia Kísérletes Orvostudományi Intézet Kóreléttani  
Osztálya.

(RUTIN)

(CAPILLARIES)

TOLDSEPP, J.

Lumbering can be better organized on the collective farms.

P. 324, (Sotsialistlik Pllumajandus) Vol. 12, no. 7, July 1957, Tallinn, Estonia

SO: Monthly Index of East European Accessions (EEAI) Vol. 6, No. 11 November 1957

1ST AND 2ND ORDERS										3RD AND 4TH ORDERS									
PROCESSES AND PROPERTIES INDEX																			
<p><i>Magyar Kemiai Folyoirat</i>  Hungarian Journal of Chemistry  vol. 46 1950  no. 12 december</p> <p><i>O. Claunder</i>  and <i>L. Toldy</i>  Substances with tuberculostatic effects  III (See <i>Hungarian Technical Abstracts</i>,  No. 2, p. 7, and <i>Hungarian Journal of</i>  <i>Chemistry</i>, Vol. 56, p. 61, 1950)</p>																			
<p>ASB-SLA METALLURGICAL LITERATURE CLASSIFICATION</p>																			
1ST AND 2ND ORDERS										3RD AND 4TH ORDERS									

COMMON ELEMENTS										COMMON VALUABLES INDEX									
1ST AND 2ND ORDER										3RD AND 4TH ORDER									
<p style="text-align: center;">615.72 : 616-002.5</p> <p>32. Substances with tuberculostatic effects, I., by O. Clauser, I. Toldy and I. Fabricsus. („Magyar Kémikusok Lapja" -- Journal of the Hungarian Chemical Society -- Vol. IV, No. 10, pp. 596-602, Oct., 1949.)</p> <p>Antituberculous agents can be divided into three groups: chemotherapeutics, antibiotics and scrotherapeutic compounds. The first group contains certain aromatic primary amines the tuberculostatic effects of which are described in detail. The influence of substituents in para position to the amino-group on tuberculostatic effects was investigated by a series of experiments. Based on the results, some esters of 4-amino-salicylic acid and of 2-Cl-4-aminobenzoic acid were prepared. Methods of preparation and chemical properties of these compounds are described in detail. Results of further researches will be published later.</p>																			
<p style="text-align: center;">ASB-SLA METALLURGICAL LITERATURE CLASSIFICATION</p>																			
1ST AND 2ND ORDER										3RD AND 4TH ORDER									
1ST AND 2ND ORDER										3RD AND 4TH ORDER									

TOLDY, Eniko; CSILLAG, Ferencne; BOBAK, Tanasne; GYENES, Istvan

Determination of peperazine derivatives; determination of piperazine, oxyethylpiperazine and dioxyethylpiperazine in presende of each other in non-aquesous medium. Magy kem folyoir 67 no.4:180-182 Ap '61.

1. Gyogyszeripari Kutato Intezet Analitikai Laboratoriuma, Budapest.

MERENYI, Janos, epitesz; TOLDY, Janos, okleveles epiteszmernok

Development and experience of designing bath houses for miners.  
Bany lap 95 no.8/9:612-618 Ag-S '62.

1. Banyaszati Tervezo Intezet, Budapest.

TOLDY, Lajos; VARGHA, Laszlo; TOTH, Istvan; BORSY, Jozsef

Promethazine investigations. Pt. 1. Magyar folyoir 65 no.1:41  
Ja '59.

1. Gyogyszeripari Kutato Intezet.

L 32224-66

ACC NR: AT6020843

SOURCE CODE: HU/2502/65/044/003/0301/0305

AUTHOR: Toldy, Lajos (Doctor); Toth, Istvan; Fekete, Marton (Doctor); Borsy, Jozsef (Doctor)ORG: Pharmaceutical Research Institute, Budapest (Gyogyszeripari Kutato Intezet)TITLE: Phenthiazine derivatives, VI. Attempts at the preparation of phenthiazines with a selective coronary dilatatory effectSOURCE: Academia scientiarum hungaricae. <sup>22</sup>Acta chimica, v. 44, no. 3, 1965, 301-305

TOPIC TAGS: isomer, tranquilizer, drug effect, circulatory drug, pharmacology, nonmetallic organic derivative

ABSTRACT: Various structural changes were made in the tranquilizer Methophenazine in order to separate its coronary dilatatory effect which is also of therapeutic importance. Certain correlations between structure and coronary dilatatory effect were found in the course of pharmaceutical testing of the derivatives. The properties desired by the authors were found most favorable in a Methophenazine isomer [3-chloro-10- $\beta$ -[4'-( $\beta'$ -hydroxyethyl)-piperazinyl-1']-propylphenthiazine-3",4",5"-trimethoxybenzoate]. Having a slight sedative effect only and almost no effect on the autonomic nervous system, Isomethophenazine can be considered a phenthiazine derivative with a potential for selective coronary dilatatory action. Some polymethoxyphen-thiazine derivatives, and some phenthiazine derivatives in combination with glucose or with sugar alcohols were also prepared in the course of this work. Orig. art. has: 1 table. [JPRS]

SUB CODE: 06 / SUBM DATE: 14Apr64 / ORIG REF: 010 / OTH REF: 033 / SOV REF: 006

Card 1/1 LS

TOLDY, L.; VARGHA, L.; KASZTREINER, E.

Synthesis of new sugar derivatives having cytostatic effect. III. 2-halogen ethylamine and ethylamine and ethylenimine derivatives of sugar alcohols. (To be contd.). p. 419.

LOZLEMEYEL. Magyar Tudományos Akademia. Kemiai Tudományok Osztálya. Budapest, Hungary. Vol. 11, no. 4, 1959.

Monthly List of East European Accessions (EEAI), LC., Vol. 9, no. 2, Feb. 1960  
Uncl.

TOLDY, L.; KASZTREINER, E.; VARGHA, L.

Synthesis of new sugar derivatives having cytostatic effect. III: 2-halogen ethylamine and ethylamine and ethlenimine derivatives of sugar alcohols. (To be contd.). p. 419.

KOZIFERNYEL. Magyar Tudományos Akademia. Kemiai Tudományok Osztálya. Budapest, Hungary. Vol. 11, no. 4, 1959.

Monthly List of East European Accession (EEAI), IC, Vol. 9, no. 2, Feb. 1960

Uncl.

BORSY, J.; LAZAR, I.; CSIZMADIA, Zs.; TOLDY, I.

Studies on promethazine. Acta physiol. hung. 15 no.4:339-343 1959

1. Institute for Pharmacoindustrial Research, Budapest.  
(PROMETHAZINE, related compounds)

TOLDY, Lajos, a kémiai tudományok kandidátusa (Budapest); VARGHA, Laszlo,  
(Budapest)

Benzal derivatives of L-iditol. Kem tud kozl MTA 13 no.1:51-58 '60.  
(EEAI 10:2)

1. Gyogyszeripari Kutato Intezet, Budapest. 2. Levelezo tag  
Magyar Tudomanyos Akademia (for Vargha)  
(Benzal groups) (Iditol)

TOLDY, I., and others.

"Promethazine investigations. I." p. 41.

MAGYAR DOKTORI FOLYOIRAT. (Magyar Kemikusok Egyesulete). Budapest, Hungary,  
Vol. 65, No. 1, Jan. 1959.

Monthly list of East European Accessions (EEAI), IC, Vol. 8, No. 8, August  
1959.

Uncla.

VARGHA, L.; TOLDY, L.; FEHER, O.; HORVATH, T.; KASZTREINER, E.; KUSZMANN, J.;  
LENDVAI, Sarolta

New sugar derivatives with cytostatic effectiveness. Acta physiol.  
hung. 19 no.1-4:305-312 '61.

1. Forschungsinstitut fur die pharmazeutische industrie, Budapest.  
(CARBOHYDRATES pharmacology)  
(ANTINEOPLASTIC AGENTS pharmacology)

TOLDY, L.

Investigation of promethazine. I. p.273

ACTA CHIMICA. Budapest, Hungary. Vol. 19, no. 2/3, 1959

Monthly List of East European Accessions (EEAI), LC. Vol. 8, No. 9, September 1959

Uncl.

TOLDY, L.; KASZTREINER, E.; VARCHA, L.

Synthesis of new sugar derivatives of potential antitumor activity. III. On  
2-halogeno-ethylamino- and ethyleneimino derivatives of sugar ~~alcohols~~. p.295

ACTA CHEMICA. Budapest, Hungary. Vol. 19, no. 2/3, 1959

Monthly List of East European Accessions (EEAI), LC. Vol. 8, No. 9, September 1959  
Uncl.

Country : Hungary G-2  
Category= : Organic Chemistry. Synthetic Organic Chemistry.  
Abs. Jour. : Ref. Zhur.-Khimiya No. 6, 1959 19502  
Author : Toldy, L.; Fabricius, I.  
Institut. : Hungarian Academy of Sciences  
Title : New Syntheses of Chlorpromazine.  
  
Orig. Pub. : Acta chim. Acad. scient. hung., 1958, 14,  
No 1-2, 203-209  
Abstract : See RZhKhim, 1957, 77140; 1958, 64517.

Card: 1/1  
h-20

Country : Hungary G-3  
 Category : Organic Chemistry. Natural Compounds and their  
 Synthetic Analogues.  
 Abs. Jour. : Ref. Zhur.-Khimiya No. 6, 1959 19579  
 Author : Toldy, L.  
 Institut. : Hungarian Academy of Sciences  
 Title : Investigations of Tomatidin. I. Some Reactions  
 of the Side-Chain.  
 Orig Pub. : Acta chim. Acad. scient. hung., 1958, 16,  
 No 4, 403-410

Abstract : Study of reactivity of steroid alkaloids tomatidin (I) and 5 $\alpha$ -solasodanole (II), differing in spatial configuration at C(22) and C(25) atoms. Distinct properties of I and II in reactions of reduction, acetylation, interaction with N-bromacetamide (III), and also the differences in pK (I 6.95, II 6.4), Debye-Scherrer pattern, and ultraviolet spectra of I and II are due to shielding which is caused by polar or equatorial position of CH<sub>3</sub>-groups in the F ring. By acetylation of 0.5 g I with 10 ml (CH<sub>3</sub>CO)<sub>2</sub>O and 15 ml pyridine (standing for 1 week) there was obtained N,O-diacetyl-I, yield 0.64 g, MP 189-191° (from alcohol). From II there is obtained under these conditions a not readily  
 Card: 1/4

Country : Hungary  
Category= :

G-3

Abs. Jour. :

19579

Author :  
Institut. :  
Title :

Orig. Pub. :

Abstract : purifiable tarry substance, MP 70-100°. On acetylation of II in concentrated solution [3 g II, 30 ml pyridine + 12 ml (CH<sub>3</sub>CO)<sub>2</sub>O] there is formed O-acetyl-II, yield 1.38 g, MP 210-212° (from alcohol), [ $\alpha$ ]<sub>D</sub><sup>20</sup> - 58.2° (c 0.5; chloroform). On saponification by action of CH<sub>3</sub>OH + water + KHC0<sub>3</sub> (8 hours, boiling) O-acetyl-II yields II, MP 204-207°, [ $\alpha$ ]<sub>D</sub><sup>20</sup> - 60° (c 0.5; chloroform). Oxidation of I according to Oppenauer [20 g I, 1.2 liters concentrated H<sub>2</sub>SO<sub>4</sub>, absolute toluene and 160 ml cyclohexanone boiled 35 minutes with solution of 10 g (iso-C<sub>3</sub>H<sub>7</sub>)<sub>3</sub>Al in toluene, added dropwise 10 ml glacial CH<sub>3</sub>COOH + 40 ml toluene] gives

Card: 2/4

Country : Hungary  
Category :

G-3

Abs. Jour. :

19579

Author :  
Institut. :  
Title :

Orig Pub. :

Abstract : 10.68 g tomatidone (IV), MP 195-197° (from CH<sub>3</sub>OH),  $[\alpha]_{20D} + 18^\circ$  (c 1; CH<sub>3</sub>OH), semicarbazone, MP 253-255° (decomposes). I gives by reaction with III or N-bromosuccinimide, bromotomatidin (V), MP 202-205°,  $[\alpha]_{20D} - 8.6^\circ$  (c 1; HCON(CH<sub>3</sub>)<sub>2</sub>). On boiling with ethyl acetate V yields hydrobromide of I, decomposition point 280-283°. From 0.6 g IV, 30 ml CH<sub>3</sub>OH, 1 ml pyridine and 0.2 g III were obtained 0.22 g bromotomatidone, decomposition point 225-227°. By action of III on II is formed the hydrobromide of II, decomposition point 280-283°; II, MP 200-202°,  $[\alpha]_{20D} - 60^\circ$  (c 0.5; CH<sub>3</sub>OH). I and II not isomerized on boiling with concentrated HCl. Ultraviolet spectrum curves of I, II, and Card: 3/4

Country : Hungary  
Category= :

G-3

Abs. Jour. :

19579

Author :  
Institut. :  
Title :

Orig. Pub. :

Abstract : O-acetyl-II are shown. -- Ye. Tsvetkov.

Card: 4/4

Country : Hungary G-3  
Category : Organic Chemistry. Natural Compounds and their  
Synthetic Analogues.  
Abs. Jour. : Ref. Zhur.-Khimiya No. 6, 1959 19580  
Author : Toldy, L.  
Institut. : Hungarian Academy of Sciences  
Title : Investigations of Tomatidin. II. Synthesis of  
Steroids from Tomatidin.  
Orig Pub. : Acta chim. Acad. scient. hung., 1958, 16,  
No 4, 411-416

Abstract : A study of the possibility of utilizing the  
 $\Delta^{16-5-\alpha}$ -pregnenol-3 $\beta$ -one-20 (I) obtained by cleavage of  
tomatidin (II), in partial syntheses of steroid hormones.  
94 g diacetyl-II and 1.88 liters glacial  $\text{CH}_3\text{COOH}$  (distilled  
with  $\text{H}_2\text{CrO}_4$ ) boiled for 5 hours, added at  $65^\circ$ , dropwise,  
42.3 g  $\text{CrO}_3$  in 150 ml water and 790 ml glacial  $\text{CH}_3\text{COOH}$  and  
heated for 4 hours at  $60^\circ$ , excess  $\text{H}_2\text{CrO}_4$  removed by treatment  
with 120 ml  $\text{CH}_3\text{OH}$ , solution evaporated in vacuum, diluted  
with 800 ml water, extracted with  $\text{C}_6\text{H}_6$ , shaken with  $\text{Al}_2\text{O}_3$ ,  
to get 43.62 g 3-acetate of I, MP  $162-164^\circ$  (from  $\text{CH}_3\text{OH}$ ),  
[ $\alpha$ ] $^{20\text{D}} + 36.2^\circ$  (c 1; chloroform). 12 g 3-acetate-I in 2  
liters  $\text{CH}_3\text{OH}$  mixed at  $+5^\circ$  with 49 ml 15%  $\text{NaOH}$  and 65.5 ml  
Card: 1/7

Country : Hungary  
Category= :

G-3

Abs. Jour. :

19580

Author :  
Institut. :  
Title :

Orig. Pub. :

Abstract : of 30%  $H_2O_2$ , after 24 hours ( $0^\circ$ ) mixture acidified with  $CH_3COOH$  to pH 6.5-7 and poured into 4 liters water, extracted with  $CHCl_3$ , extract evaporated in vacuum, residue heated 1 hour with 130 ml pyridine + 50 ml  $(CH_3CO)_2O$ , mixture poured in ice water, to get 10 g acetate of 16,17 $\alpha$ -epoxy-5 $\alpha$ -pregnanol-3 $\beta$ -one-20 (III), MP 182-184 $^\circ$  (from  $CH_3OH$ ),  $[\alpha]^{20D} + 52^\circ$  (c 1; chloroform). Solution of 1 g III in 25 ml glacial  $CH_3COOH$  mixed at 18 $^\circ$  with 15 ml glacial  $CH_3COOH$  saturated with  $HCl$ , to get 0.41 g 3-acetate of 16-chlor-5 $\alpha$ -pregnandiol-3 $\beta$ ,17 $\alpha$ -one-20, MP 174-176 $^\circ$  (from  $CH_3OH$ ),  $[\alpha]^{20D} + 12^\circ$  (c 1; chloroform). Analogously from III and

Card: 2/7

6-30

Country : Hungary  
Category :

G-3

Abs. Jour. :

19580

Author :  
Institut. :  
Title :

Orig Pub. :

Abstract : glacial  $\text{CH}_3\text{COOH}$  +  $\text{HBr}$  was obtained 3-acetate of 16-brom-5 $\alpha$ -pregnandiol-3 $\beta$ ,17 $\alpha$ -one-20 (IV), yield 98.5%, MP 188-190° (from  $\text{CH}_3\text{OH}$ ),  $[\alpha]_{\text{D}}^{20} + 14.8^\circ$  (c 1; chloroform); from III and concentrated aqueous  $\text{HI}$  in  $\text{CHCl}_3$  was obtained 3-acetate of 16-iodo-5 $\alpha$ -pregnandiol-3 $\beta$ ,17 $\alpha$ -one-20, MP 158-160°,  $[\alpha]_{\text{D}}^{20} + 18^\circ$  (c 1; chloroform). IV on boiling (12 hours) with mixture acetone +  $\text{KHC}\text{O}_3$  + glacial  $\text{CH}_3\text{COOH}$  gives again III. Mixture of 25 g IV and 80 g 2%  $\text{Pd}/\text{BaCO}_3$  in 2.2 liters alcohol shaken with  $\text{H}_2$  (16 hours) to get 17.9 g 3-acetate of 5 $\alpha$ -pregnandiol-3 $\beta$ ,17 $\alpha$ -one-20 (V), MP 189-190° (from  $\text{CH}_3\text{OH}$ ),  $[\alpha]_{\text{D}}^{20} + 16.8^\circ$  (c 1; acetone). By action of 150 g deactivated skeleton N1 (pretreated by boiling with  
Card: 3/7

Country : Hungary  
Category= :

G-3

Abs. Jour. :

19580

Author :

Institut. :

Title :

Orig. Pub. :

Abstract : iso-C<sub>3</sub>H<sub>7</sub>OH + acetone) on 15 g IV there was also obtained V, yield 9.8 g, M<sup>p</sup> 182-184° (from CH<sub>3</sub>OH). Acetylation of 6.8 g tomatidone (see Communication I) yields 4 g acetyltomatidone (VI), MP 271-273° (from CH<sub>3</sub>OH), [α]<sub>D</sub><sup>20</sup> + 45° (c 1; chloroform). Oxidation of 1 g VI by the method described in the preparation of acetate-I, results in the synthesis of Δ<sup>16-5α</sup>-pregnandione-3,20 (VII), yield 0.32 g, MP 204-207° (from ethyl acetate), [α]<sub>D</sub><sup>20</sup> + 72° (c 1; chloroform). Saponification of acetate of I with dilute methanol KHC0<sub>3</sub> yielded I, MP 204-206°. On boiling of 0.45 g I with (iso-C<sub>3</sub>H<sub>7</sub>O)<sub>3</sub>Al and cyclohexanone in toluene there was

Card: 4/7

8-31

Country : Hungary  
Category :

G-3

Abs. Jour. :

19580

Author :

Institut. :

Title :

Orig Pub. :

Abstract : obtained VII, yield 0.14 g. Saponification of III gave 16,17 $\alpha$ -epoxy-5 $\alpha$ -pregnanol-3 $\beta$ -one-20, MP 184-186°. Oxidation of 2.53 g of the latter according to Oppenauer, gives 16,17 $\alpha$ -epoxy-5 $\alpha$ -pregnandione-3,20 (VIII), yield 0.9 g, MP 200-202° (from CH<sub>3</sub>OH), [ $\alpha$ ]<sub>D</sub><sup>20</sup> + 94° (c 1; chloroform); semicarbazone, MP 215-217°. 0.25 g VII in 100 ml CH<sub>3</sub>OH mixed at 0° with 3.5 ml 30% H<sub>2</sub>O<sub>2</sub> and 1.5 ml 20% NaOH, after 4 days (0°) the mixture is poured in ice water and VIII is extracted with dichlorethane. 0.5 g VIII in 20 ml glacial CH<sub>3</sub>COOH mixed at 16° with 5 ml 32% CH<sub>3</sub>COOH containing HBr, to get 0.48 g bromohydrin of VIII. 0.38 g of the latter yield on debromination with Pd/BaCO<sub>3</sub>, 0.16 g of  
Card: 5/7

Country : Hungary  
Category= :

G-3

Abs. Jour. :

19580

Author :  
Institut. :  
Title :

Orig. Pub. :

Abstract :  $\alpha$ -pregnanol-17 $\alpha$ -dione-3,20, MP 251-253° (from ethyl acetate),  $[\alpha]_{20D} + 49^\circ$  (c 1; dioxane). 2.5 g V in 150 ml glacial  $\text{CH}_3\text{COOH}$  are hydrogenated over Pt (from  $\text{PtO}_2$ ) to get 2.14 g 3-acetate of epi-androsterone, MP 112-115°, which on saponification with 5% methanol solution of KOH is converted to epi-androsterone, yield 1.4 g, MP 171-173° (from  $\text{CH}_3\text{OH}$ ),  $[\alpha]_{20D} + 88^\circ$  (c 0.5;  $\text{CH}_3\text{OH}$ ). To 0.5 g V in 150 ml absolute alcohol added at 0° 0.5 g  $\text{NaBH}_4$ , after 12 hours (0°) isolated 0.48 g of mixture of isomers of 3-acetate of 5 $\alpha$ -pregnantriol-3 $\beta$ ,17 $\beta$ ,20 (IX). Solution of 0.48 g IX in 54 ml  $\text{C}_6\text{H}_6$  and 0.7 g  $(\text{CH}_3\text{COO})_4\text{Pb}$  allowed to stand 12 hours, treated

Card: 6/7

4-32

Country : Hungary  
Category :

G-3

Abs. Jour. :

19580

Author :  
Institut. :  
Title :

Orig. Pub. :

Abstract : with 1% aqueous solution (COOH)<sub>2</sub>, benzene  
solution evaporated, residue dissolved in 200 ml CH<sub>3</sub>OH,  
acidified with 5 ml concentrated HCl, after 48 hours  
standing at 20° there are isolated 0.31 g epi-androsterone.  
Ye. Tsvetkov.

Card: 7/7

L 1183-66

ACCESSION NR: AT5025198

HU/2502/64/042/004/0351/0357

AUTHOR: Toldy, Lajos (Toldi, L.) (Doctor) (Budapest); Borsy, Jozsef (Borshi, J.) (Doctor) (Budapest); Dumbovich, Boris (Doctor) (Budapest); Toth, Istvan (Tot, I.) (Budapest)

TITLE: Phenthiazine derivatives. Part 4: Synthesis of methophenazine

SOURCE: Academia scientiarum hungaricae. Acta chimica, v. 42, no. 4, 1964, 351-357

TOPIC TAGS: ester, carboxylic acid, tranquilizer

Abstract: [German article] A synthesis of perphenazine, 3-chloro-10-γ-[4'-(β'-hydroxyethyl)-piperazinyl-1']propyl-phenthiazine, and several of its esters with aryl and arylalkyl carboxylic acids including 3-chloro-10-γ-[4'-(β'-hydroxyethyl)piperazinyl-1']propyl-phenthiazine-3",4",5"-trimethoxybenzoic ester (Methophenazine, a tranquilizer), was described. The properties of the various intermediate and ultimate products obtained were presented and discussed. "Thanks are extended to O. Winterstein and G. Gelegonya." Orig. art. has 7 figures and 1 table.

ASSOCIATION: Institut fur Arzneimittelforschung, Budapest (Institute for Pharmaceutical Research)

Card 1/2

L 1183-66

ACCESSION NR: AT5025198

SUBMITTED: 29Feb64

ENCL: 00

SUB CODE: OC, GC

NO REF SOV: 000

OTHER: 017

JPRS

Card 2/2

TOLDY, M.; TEREN, I.

Delivery of large fetuses. Bratisl. lek. listy 44 no.3:142-151  
'64.

1. Katedra starostlivosti o matku II. lek.fak. Univ. Komenskeho  
v Bratislave; veduci: doc. MUDr.A.Hudcovic.

\*

TOLDY, M. (Bratislava, Sulekova 16); TEREN, L.; HUDCOVIC, A., doc. dr.

The use of oxytocin during the 1st and 2d stages of labor.  
Cesk. gynek. 30 no.1:64-69 Mr'65.

1. II. gyn.-por. klinika Lekarske fakulty University Komenskeho  
v Bratislave (prednosta: doc. dr. A. Hudcovic).

HUDCOVIC, A.; TOLDY, M.; TEREN, L.; POCIATEK, A.

Delivery of the fetus dying during pregnancy. *Cesk. gynek.* 28 no.8:  
572-576 0 '63.

1. II. gyn. por. klin. Lek. fak. UK v Bratislave, prednosta doc.  
dr. A. Hudcovic.

TOLDY, M.; POCIATEK, A.; TEREN, L.; HUDCOVIC, A.; Technicka spolupraca:  
SEMINICKA, B.

The prognostic value of a history of fetal death during previous pregnancies. Cesk.gynek. 28 no.8:577-581 0 '63.

1. II. gyn.-por. klin. Lek. fak. UK v Bratislave, prednosta doc.  
dr. A. Hudcovic.

\*

TOLDY, M.

The effect of adrenergic agents on the excitability of the emetic centre. *Activ. nerv. sup.* 4 no.3/4:402-404 '62.

1. Department of Gynaecology and Obstetrics, Physiological Institute,  
Komensky University, Bratislava.

(VOMITING) (APOMORPHINE) (EPINEPHRINE)

L 43641-66 RO

ACC NR: AT6032349

SOURCE CODE: HU/2505/65/027/001/0065/0080

AUTHOR: Borsy, Jozsof; Toldy, Lajos; Dumbovich, Boris

ORG: Research Institute of the Pharmaceutical Industry, Budapest (Gyogyszeripari Kutato Intezet)

TITLE: Neuroplegic and other pharmacological properties of methophenazine (frenolon)

SOURCE: Academia scientiarum hungaricae. Acta physiologica, v. 27, no. 1, 1965, 65-80

TOPIC TAGS: pharmacology, nervous system drug, rat

ABSTRACT: When administered orally or parenterally, the neuroplegic effects of methophenazine are 3-6 times as strong as those of chlorpromazine in regard to the inhibition of orientation and conditioned reflexes, cataleptogenic action, inhibition of amphetamine toxicity and inhibition of the central stimulating effect of amphetamine. It potentiates the analgesic action of morphine. Similarly to perphenazine and thio-propazate, it has a weaker hypothermic action than chlorpromazine in barbiturate anesthesia of rats. Its acute toxicity is considerably lower than that of the other three compounds mentioned. No detectable macroscopic or histological changes were produced after subacute and chronic use in rats and dogs. The results indicate that incorporation of the trimethoxyphenyl group into the perphenazine molecule did not change its phenothiazine character. As opposed to reserpine, methophenazine is a potent

Card 1/2

L 43641-66

ACC NR: AT6032349

5.  
adrenolytic and serotoninolytic agent. Several years of clinical trials support the pharmacological findings that it is a more effective, less toxic neuroplegic drug than chlorpromazine with less side effects. The authors thank Doctor F. Karsag and Doctor A. Szeky for cooperation in the investigations concerning chronic toxicity and the histological studies. Further thanks are given to Mrs. Zs. Anheuer, Mrs. K. E. Mariassy and Zs. Csizmadia for technical assistance. Orig. art. has: 3 figures and 9 tables. [Orig. art. in Eng.] [JPRS]

SUB CODE: 06 / SUBM DATE: 28Mar64 / ORIG REF: 007 / OTH REF: 016

LS  
Card 2/2

TOLDY, M.; SIROTHY, E.

CSLR

Dept. for the Care of Mothers, II. Medical Faculty, Comenius University  
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Bratislava, Bratislavske Lekarske Listy, No 6, 1963, pp 334-342

"Anaesthesia for Caesarian Section"

(2)

TOLDY, M.; SIROTNÝ, E.

Anesthesia in cesarean section. Bratisl. lek. listy 43 Pt. 1  
no.6:334-342 '63.

1. Katedra starostlivosti o matku II Lek. fak. Univ. Komenského  
v Bratislave, veduci doc. MUDr. A. Hudcovic.  
(CESAREAN SECTION)  
(ANESTHESIA, OBSTETRICAL)

TOLDY, M.; TEREN, L.; STEFANIK, P.

The importance of determining blood losses in the course of  
gynecological operations. Bratisl. lek. listy 43 Pt. 1 no.5:  
269-276 '63.

1. Katedra starostlivosti o matku II Lek. fak. Univ. Komenského  
v Bratislave, veduci doc. MUDr. A. Hudcovic.

(GYNECOLOGY) (VAGINA) (LAPAROTOMY)  
(HYSTERECTOMY) (SURGERY, OPERATIVE)  
(HEMORRHAGE)

*TOLDY, III.*

TOLDY, M.; TEREH, L.; STEFANIK, P.

CSER

Dept. for care of mothers, II. medical faculty, Comenius University  
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Bratislava, director: docent A. Hudsovic, MD

Bratislava, Bratislavske Lekarske Listy, No 5, 1963, pp 269-276

"On the Importance of Following Blood Losses in the Course of Gynaecological  
Operations"

(3)

TOLDY, M., CSc.; TEREK, L.; HUDCOVIC, A., doc.

Experience with the use of oxytocin in labor function disorders.  
Cesk. gyn. 27 [41] no.6/7:487-493 Ag '62.

1. Katedra starostlivosti o matku Lek. fak. Univerzity Komenskeho  
v Bratislave, veduci katedry doc. dr. A. Hudcovic.  
(LABOR) (OXYTOCIN)

TOLBOKHTSEV, N.A., Cand Med Sci -- (also) "Toxic effect of non-electrolytes during their continuous and intermittent action (data on the problem of standardizing harmful substances in the atmosphere)," Leningrad, 1960, 20 pp (Leningrad Sanitary Hygiene Medical Institute) (KL, 36-60, 118-119)

TOLDY, L.: KRAUT, M.

Investigations in the field of antihistamines. II. A new simple synthesis of the by-products of ethylenediamines. p. 23. (Magyar Kemiai Folyoirat, Vol. 63, No. 1, Jan 1957, Budapest, Hungary)

SO: Monthly List of East European Accessions (EEAI) LC, Vol. 6, No. 8, Aug 1957. Uncl.

HUNGARY/Chemical Technology. Chemical Products and Their Application. H-17  
Pharmaceuticals. Vitamins. Antibiotics.

Abs Jour: Ref Zhur-Khin., No 2, 1959, 5705.

Author : Toldy, Lajos; Spitz, Dones; Clauder, Otto.

Inst :  
Title : Tuberculostatically Active Compounds. Preparation of  
Thiosemicarbazone of p-acetylamino benzaldehyde.

Orig Pub: Magyar kem. folyoirat, 1957, 63, No 1, 27-28.

Abstract: For the industrial synthesis of thiosemicarbazone of p-acetylamino benzaldehyde (I), p-nitrotoluene is reduced with Na-polysulfide, the alkaline solution is mixed with the solution of thiosemicarbazide, acidified with  $\text{CH}_3\text{COOH}$  and the produced thiosemicarbazone of p-aminobenzaldehyde (II) is acetylated. In this way it is possible to avoid polymerization from taking place in the separa-

Card : 1/3

HUNGARY/Chemical Technology. Chemical Products and Their Application. H-17  
Pharmaceuticals. Vitamins. Antibiotics.

Abc Jour: Ref Zhur-Izhn., No 2, 1959, 5705.

tion of p-aminobenzaldehyde (III) and to utilize all the  
III obtained for the synthesis of I. Suspension of 30 g  
of S powder in 50 ml of alcohol is added to 600 ml of  
aqueous solution of 62.5 g of NaOH, the mixture is boiled  
for about 30 min. until S dissolves, solution of 50 g  
of nitrotoluene in 250 ml of alcohol is added and all is  
boiled for 1.5 hour. Solution of 16.5 g of thiosenicar-  
bazide in 160 ml of hot water is cooled to 20° and added,  
the mixture is carefully acidified with 50%  $\text{CH}_3\text{COOH}$  and  
50-54 g of II contaminated with S is filtered off. De-  
composition point 192° (from alcohol). 600 ml of ace-  
tone is added to the product, it is stirred for several  
minutes, the insoluble admixtures are filtered off, 24  
ml of  $(\text{CH}_3\text{CO})_2\text{O}$  and 2 ml of pyridine are added to the

Card : 2/3

HUNGARY/Chemical Technology. Chemical Products and Their Application. E-17  
Pharmaceuticals. Vitamins. Antibiotics.

Abs Jour: Ref Zhur-Khin., No 2, 1959, 5705.

filtrate, the mixture is allowed to stand for 16 hours,  
and 30 - 33 g of I is filtered off, decomposition point  
225 - 227° (after crystallization from 75% alcohol 25 -  
28 g of purified I is obtained, decomposition point 230 -  
233°). - V. Ufimtsev.

Card : 3/3

HUNGARY / Organic Chemistry. Organic Synthesis.

G-2

Abs Jour: Ref Zhur-Khimiya, No 1, 1959, 1272.

Abstract: aluminum are added and boiled for an additional four hours. At 100°C. the contents are diluted with water, made alkaline to the phenolphthalein with sodium hydroxide and III is steam distilled; yield 42.6%. Under analogous conditions but using iron instead, the yield was 74.3%. The latter varies depending on different grades of iron in a 20% range (steel is better than cast iron; iron which has been reduced with hydrogen reacts badly). Oxidation of III to I in addition to  $\text{KMnO}_4$  (yield 70%) was accomplished with  $\text{SeO}_2$  and  $\text{NaOCl}$ . Five grams of III, 0.4 grams of  $\text{SeO}_2$ , 1.5 milliliters of water, 48 grams of concentrated sulfuric acid were heated for two hours at 280°C.; then 200 milliliters of water was added and the pH was adjusted to 3.6 and while boiling, a saturated solution

Card 2/4

HUNGARY / Organic Chemistry. Organic Synthesis.

G-2

Abs Jour: Ref Zhur-Khimiya; No 1, 1959, 1272.

Abstract: from  $\text{CCl}_4$  extract by distillation at 4-5 millimeters, yield 94%. Upon oxidation with  $\text{SeO}_2$ , the temperature may be raised to  $310^\circ\text{C}$ . after the evolution of gases stops, and after 8 hours it can be raised to  $325^\circ\text{C}$ ., 114 grams of  $\text{HOSO}_2\text{Cl}$  is added to the alcoholic solution of the remainder, and by a further procedure, similarly to the one described above, there is obtained (without a preliminary separation of I) the ethyl ester of I (yield 84% based on III). II was obtained from the latter by a conventional method, m. p.  $168-170^\circ\text{C}$ .  
-- S. Rosenfel'd.

Card 4/4

HUNGARY/Organic Chemistry. Synthetic Organic Chemistry.

G

Abs Jour: Ref Zhur-Khin., No 2, 1959, 4719.

a previously described method (see C. P. Hutterer and C. Djerassi, J Amer Chem Soc, 68, 1999 (1946)). A suspension of 102.5 gms 77%  $\text{NaNH}_2$  in 150 ml pyridine is treated (45-50°, 30 min) with a solution of 188 gms 2-aminopyridine in 550 ml pyridine and heated to 100° for 90 min. By dissolving 144 gms of the hydrochloride of  $\beta$ -dimethylaminoethyl chloride at 0° in a mixture of 250 ml 5N NaOH + 250 ml toluene, the free base is obtained [sic] which is added to a solution of 2-aminopyridine; after heating (24 hrs), 105° and removal of the solvent by distillation, the residue is treated with 300 ml ice water and extracted with toluene; distillation of the extract at 130-141° gives 124 gms II, yield 75% as against 50% (see reference cited). A solution

Card : 2/6

HUNGARY/Organic Chemistry. Synthetic Organic Chemistry.

G

Abs Jour: Ref Zhur-Khim., No 2, 1959, 4719.

of 89 gms II in 400 ml pyridine is treated with 94.42 gms p-chlorobenzoyl chloride (dropwise addition, cooling), the solution is stirred for 1 hr, and the residue is treated with alkali; N-(p-chlorobenzoyl)-N-(2-pyridyl)-N',N'-dimethylethylenediamine (III) is obtained, yield 60%, mp 106-107° (from alcohol). A solution of 98.18 gms III in 340 ml pyridine and 77 gms P<sub>2</sub>S<sub>5</sub> are refluxed (oil bath) [time?], made alkaline with 5N NaOH, and extracted with C<sub>6</sub>H<sub>6</sub>; the N-p-chlorothiobenzoyl derivative (IV) is obtained, yield 60%, mp 85° (from alcohol). A solution of 10 gms IV in 330 ml acetone is added dropwise to 120 gms of deactivated Raney Ni (V), the mixture is refluxed for 5 hrs, and the filtrate is distilled, giving I, yield 60%, bp 154-155°, hydrochloride mp 172-174°. The

Card : 3/6

HUNGARY/Organic Chemistry. Synthetic Organic Chemistry.

G

/ Abs Jour: Ref Zhur-Khim., No 2, 1959, 4719.

action of active V on IV leads to the destructive hydrogenation of the molecule with the formation of II. The authors point to the possibility of utilizing the method described above in the synthesis of compounds of the type of the pyribenzamines. In addition to III and IV, other amides of the acid [sic] have also been prepared. A solution of 135 gms II in 815 ml pyridine is treated at 0° with 155.4 gms of freshly distilled p-nitrobenzoylchloride; on alkalization the hydrochloride (mp 199°) which is formed (after 48 hrs gives 190 gms N-(p-nitrobenzoyl)-N-(2-pyridyl)-N', N'-dimethylethylenediamine (VI), mp 124° (from alc). 121 gms of VI in 500 ml alcohol are hydrogenated at 20° and at atmospheric pressure in the presence of V; recrystallization of the oily

Card : 4/6

HUNGARY/Organic Chemistry. Synthetic Organic Chemistry.

G

/ Abs Jour: Ref Zhur-Khim., No 2, 1959, 4719.

product from 210 ml water gives 94.88 gms of the aminobenzoyl derivative (VII), mp 94-95°. 25.2 gms PtS<sub>5</sub> in 110 ml pyridine are refluxed for 0.5 hr, after which a solution of 30.5 gms VII in 100 ml pyridine is added dropwise over 15 min; the mixture is refluxed for 45 min and allowed to stand for 12 hrs, at the end of which it is poured over ice, 700 ml of CHCl<sub>3</sub> + 280 ml 5N NaOH is added, and the CHCl<sub>3</sub> layer is filtered; the filtrate from the last operation is washed three times with 670 ml cold 5N NaOH and three times with 670 ml portions of cold 5N HCl; the HCl extract is alkalinized, the oil which separates is extracted with C<sub>6</sub>H<sub>6</sub>, and the solvent is distilled off; recrystallization of the residue from alcohol gives 7.44 gms N-

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· HUNGARY/Organic Chemistry. Synthetic Organic Chemistry.

G

/ Abs Jour: Ref Zhur-Khim., No 2, 1959, 4719.

(p-aminothiobenzoyl)-N-(2-pyridyl)-N',N'-dimethyl  
ethylenediamine (VIII), mp 170-172°. VI-VIII were  
found to have very weak antihistamine action. For  
Communication I see RZhKhim, 1958, 60970. --  
S. Rozenfeld.

Card : 6/6

HUNGARY / Organic Chemistry. Synthesis.

G

Abs Jour: Ref Zhur-Khimiya, No 7, 1959, 23403

Author : Horvath, T.; Toldy, L.; Vargha, L.  
Inst : Academy of Sciences, Hungary  
Title : Synthesis of Hydrazide of Isonicotinic Acid.

Orig Pub: Acta chim. Acad. scient. hung., 1958, 14, No 1-2,  
197-201.

Abstract: See RZhKhim., 1959, 1272.

Card 1/1

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HUNGARY / Organic Chemistry. Synthesis.

G

Abs Jour: Ref Zhur-Khimiya, No 7, 1959, 23402

Author : I: Kraut, M.; Toldy, L.; Kasztreiner, E.; Fuchs, O.;  
Vargha, L.

II. Toldy, L.; Kraut, M.; Vargha, L.

Inst : Academy of Sciences, Hungary

Title : Investigations in the Field of Antihistamines.  
I. Preparation of Substituted Acid Amides and  
Their Reduction by Lithium Aluminium Hydride.  
II. Simple New Synthesis of Ethylenediamine Derivatives.

Orig Pub: Acta chim. Acad. scient. hung., 1958, 15, No 1,  
19-25; No 3, 265-271.

Abstract: See RZhKhim, 1958, 60970; 1959, 4719.

Card 1/1

TOLDY, L.

Synthesis of isonicotinic acid hydrazide.

p. 284, (MAGYAR KEMIAI FOLYOIRAT) Vol. 63, no. 10, Oct. 1957  
Budapest, Hungary

SO: Monthly Index of East European Accessions (EEAI) LC, Vol. 7, No. 3;  
March 1958

TOLDY, L.

HUNGARY / Organic Chemistry: Synthetic Organic Chemistry. G

Abs Jour: Ref Zhur-Khimiya, No 18, 1958, 60970.

Author : Miklos Kraut, Lajos Toldy, Andre Kasztreiner,  
Oszhar Fuchs, Laszlo Vargha.

Inst : -

Title : Study in Region of Antihistamine Preparations.  
I. Preparation of Substituted Amines and Their  
Reduction with  $\text{LiAlH}_4$ .

Orig Pub: Magyar kem. folyoirat, 1957, 63, No 1, 1-5.

Abstract: With a view to study the physiological activity,  
 $\text{RR}'\text{NCH}_2\text{CON}(\text{CH}_3)_2$ -s, in which  $\text{R}' = \alpha$ -pyridyl,  
 $\text{R} = \text{C}_6\text{H}_5\text{CH}_2$  (I),  $\text{R} = n\text{-CH}_3\text{OC}_6\text{H}_4\text{CH}_2$  (II),  $\text{R} = n\text{-}$

Card 1/7

HUNGARY / Organic Chemistry. Synthetic Organic Chemistry. G

Abs Jour: Ref Zhur-Khimiya, No 18, 1958, 60970.

Abstract:  $\text{ClC}_6\text{H}_4\text{CH}_2$  (III), were prepared by the condensation of corresponding  $\text{RR}'\text{NH}$ , in which  $\text{R}' = \alpha\text{-pyridyl}$ ,  $\text{R} = \text{C}_6\text{H}_5\text{CH}_2$  (IV),  $\text{R} = n\text{-CH}_3\text{OC}_6\text{H}_4\text{CH}_2$  (V), and  $\text{R} = n\text{-ClC}_6\text{H}_4\text{CH}_2$  (VI), with N-dimethylamide of chloroacetic acid (VII). Dimethylamide of 2-phenyl-2-( $\alpha$ -pyridyl)-propionic acid (IX) was prepared by the condensation of 2-benzylpyridine (VIII) with VII in the presence of  $\text{NaNH}_2$ . The preparation of 1-phenyl-1-( $\alpha$ -pyridyl)-3-dimethylaminopropanone-2 (XI) by the condensation of 2- $\text{BrC}_5\text{H}_4\text{N}$  with  $\text{C}_6\text{H}_5\text{CH}_2\text{COCH}_2\text{N}(\text{CH}_3)_2$  (X) did not succeed. I, II, III and IX were reduced with  $\text{LiAlH}_4$  to  $\text{R}'\text{RCHCH}_2\text{CH}_2\text{N}(\text{CH}_3)_2$ , where  $\text{R}' = \alpha\text{-pyridyl}$ ,  $\text{R} = \text{C}_6\text{H}_5\text{CH}_2$  (XII),  $\text{R} = n\text{-CH}_3\text{OC}_6\text{H}_4\text{CH}_2$  (XIII),  $\text{R} =$

Card 2/7

HUNGARY / Organic Chemistry. Synthetic Organic Chemistry. G

Abs Jour: Ref Zhur-Khimiya, No 18, 1958, 60970.

Abstract: =  $n\text{-ClC}_6\text{H}_4\text{CH}_2$  (XIV), and  $R = \text{C}_6\text{H}_5$  (XV). 0.4 mole of IV in 1080 ml of absolute toluene is added to 0.85 mole of 77%-ual  $\text{NaNH}_2$  in 136 ml of absolute toluene in the duration of 2 hours, after that 0.8 mole of VII is added and, after aging (4 hours,  $35^\circ$ ), the mixture is filtered and the residue is triturated with 60 ml of absolute alcohol, I is obtained, yield 22.2% melting point  $99$  to  $101^\circ$  (from absolute alcohol). II and III are prepared similarly of V and VI correspondingly (the amounts of  $\text{NaNH}_2$  in moles, the amounts of toluene in ml, the amounts of V or VI in moles, the amounts of toluene in ml, the amounts of VII in moles, the

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HUNGARY. /: Organic Chemistry. Synthetic Organic Chemistry. .G

Abs Jour: Ref Zhur-Khimiya, No 18, 1958, 60970.

Abstract: aging duration in minutes at the temperature in °C, the yield in % and the melting points in °C are enumerated in the following): 0.185, 30, 9.085, 420, 0.17, 60, 35, 12.4, 119 to 120 (from acetone); 0.093, 11, 0.034, 160, 0.68, 70, 35, 25.2, 158 (from absolute alcohol). 0.206 mole of IV is added to 0.27 mole of 77%-ual NaNH<sub>2</sub> in 65 ml of absolute toluene at 60°, the mixture is kept 2 hours at 100° until the separation of NH<sub>3</sub> discontinues, then 0.288 mole of VII is added at 70°, and 5 hours later (at 100 to 150°) 60 ml of water is added for the elimination of IV (1 g). The mixture is washed with 5 n. HCl and acid extracts are extracted with ether for the separation of IV (20 g). The residue is alkalinized, the resin is separated with 50 ml of CHCl<sub>3</sub>, and 15 g of NaOH is added too; 7 g

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HUNGARY / Organic Chemistry. Synthetic Organic Chemistry. G  
Abs Jour: Ref Zhur-Khimiya, No 18, 1958, 60970.

Abstract: of Na salt of N-benzyl-N-(2-pyridyl)-glycine precipitates, melting point  $296^{\circ}$  (from alcohol).  
0.242 mole of VIII is added to  $\text{NaNH}_2$  in liquid  $\text{NH}_3$ , 2 hours later 0.3 mole of VII in 200 ml of absolute ether is added, 1 hour after it 200 ml of water is added and IX is extracted with ether, yield 43%, boiling point  $180$  to  $185^{\circ}/0.5$  mm, melting point  $95$  to  $96^{\circ}$  (ether + petroleum ether).  
XII, XIII, XIV and XV were prepared reducing I, II, III and IX correspondingly with  $\text{LiAlH}_4$  (the duration of boiling, the yield in % and the boiling points in  $^{\circ}\text{C}$  are enumerated in the following):  
24, 50, 185 to  $195/1.7$  mm, hydrochloride, melting

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HUNGARY / Organic Chemistry. Synthetic Organic Chemistry. G

Abs Jour: Ref Zhur-Khimiya, No 18, 1958, 60970.

Abstract: point 187 to 188°; 20, 50, 185 to 190/2 mm, picrate, melting point 165 to 167° (dissociates); 5, 70, 154 to 155/0.2 mm, hydrochloride, melting point 172 to 174°; 20, 63.5, 142 to 145/3 to 4 mm, oxalate, melting point 151 to 152°. 0.385 mole of benzylcyanide and 0.385 mole of ethyl ester of VII are added to sodium alcoholate (8.85 g of Na and 110 ml of absolute alcohol) and after 3 hours of boiling, 400 ml of water is added first, and after that, 40 ml of glacial  $\text{CH}_3\text{COOH}$  is added;  $\text{C}_6\text{H}_5\text{CH}(\text{CN})\text{COCH}_2\text{N}(\text{CH}_3)_2$  (XVI) is obtained, yield 72%, melting point 237 to 238° (dissociates, from alcohol). 33.15 g of X is obtained by the action of 28 ml of concentrated  $\text{H}_2\text{SO}_4$  and 50 ml of water on 50 g of XVI (2.5 hours at 120 to 127°) with a following addition of 90 ml of 50%-ual KOH, yield

Card 6/7

TOLDY, Lajos

HUNGARY/Organic Chemistry. Synthetic Organic Chemistry.

G

Abs Jour: Ref. Zhur-Khimiya, No 19, 1958, 64517.

Author : Toldylajos, Fabricius Imre

Inst :

Title : New Syntheses of Chlorpromazine

Orig Pub: Magyar Kem. folyoirat 1957, 63, No 10, 286-289.

Abstract: Three ways to derive chlorpromazine [the hydrochloride of 3-chloro-10-(3-dimethylaminopropyl)-phenothiazine] (I) have been described. 30 g. (3-chlorophenothiazinyl-10)-propione-3 acid are reduced with  $\text{LiAlH}_4$  in ether and 20 g. of (3-chlorophenothiazinyl-10) propanol (II), m.p.  $124-125^\circ$ , are separated out. From 8 g. of (II) and  $\text{CH}_3\text{SO}_2\text{Cl}$  in pyridine through 2 days are derived 9 g. of mesilo ether of (II) (IIa) m.p.  $101-102^\circ$  (in chloroform and benzol). From an acetone solution

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HUNGARY/Organic Chemistry. Synthetic Organic Chemistry.

G

Abs Jour: Ref. Zhur-Khimiya, No 19, 1958, 64517.

of (IIa) to which dimethylbezyamine is added over several days, methansulfonate [3-chloro-phenothiazinyl-10)-propyl-3-7-dimethylbenzylammonia (III), m.p. 119-120° (in benzol) is derived. An acetic acid solution of (III) hydrolized with Pd/C at temp of ~ 20° and alkalized produces, by ether extraction, the base of (I) (Ia). b.p. 210-215°/0.6 mm m.p. 57-58° (in gasoline); m.p. (I) 190-192° (from C<sub>6</sub>H<sub>5</sub>Cl). If (IIa) is added to a solution of dimethylamine in absolute alcohol, (Ia) is also produced, after 15 days. An ether solution of n-C<sub>4</sub>H<sub>9</sub>Li (from 2.2 g. of Li and 15.3 ml. n-C<sub>4</sub>H<sub>9</sub>Br), at temp. of ~ 5° and without access to air, to which is added 20 g. of 3-chloro-phenothiaze in 800 ml. of absolute ether, and afterwards at temp. of 0° 21.75 g. of (CH<sub>3</sub>)<sub>2</sub>N(CH<sub>2</sub>)<sub>3</sub>OSO<sub>2</sub>CH<sub>3</sub>

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HUNGARY/Organic Chemistry. Synthetic Organic Chemistry.

G

Abs Jour: Ref. Zhur-Khimiya, No 19, 1958, 64517.

in 100 ml of absolute ether, will also give (Ia).

Card : 3/3

TOLDY, L.

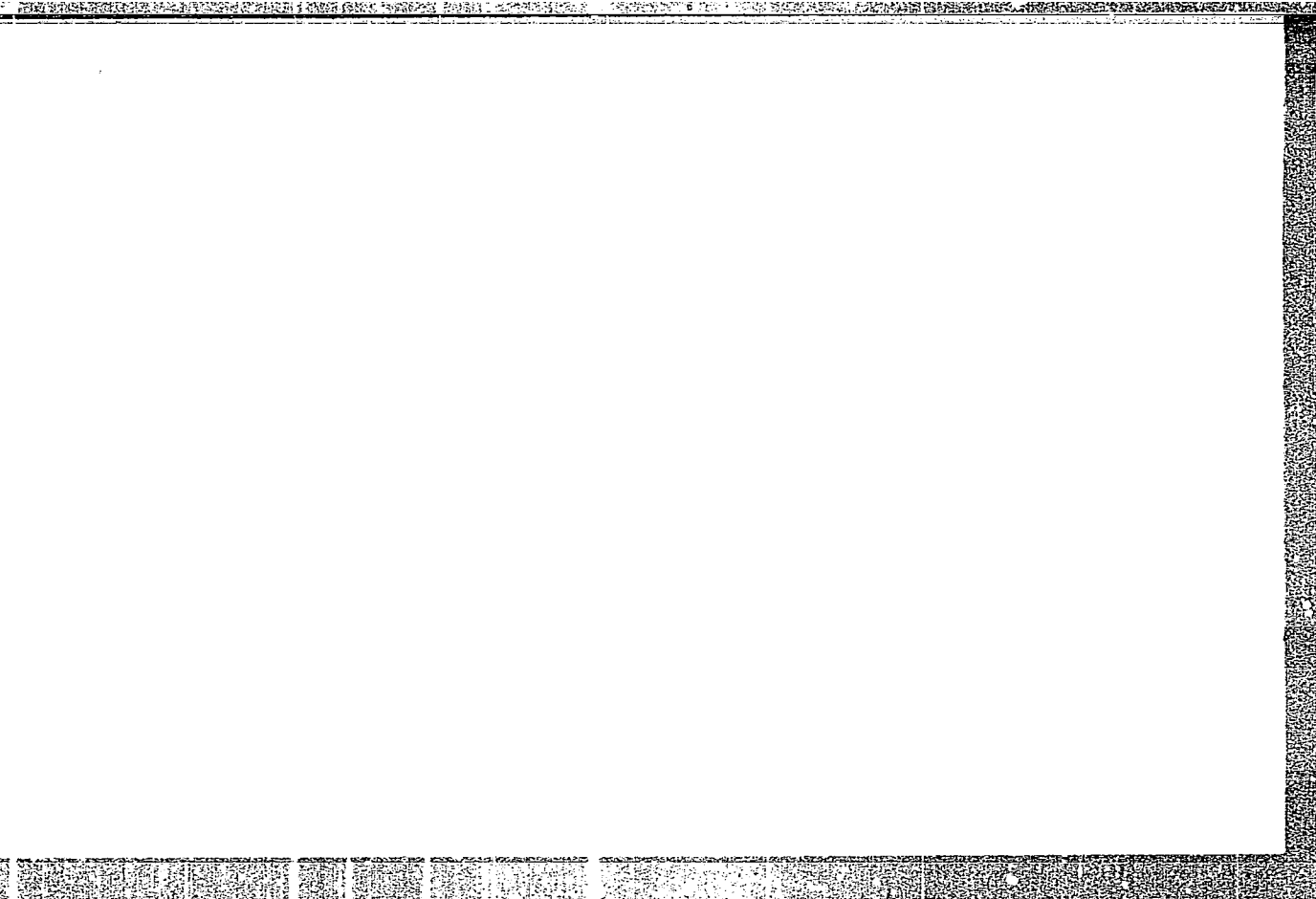
Some new synthesis of chlorpromazine; a preliminary communication.

p. 286. (MAGYAR KEMIAI FOLYOIRAT) Vol. 63, no. 10, Oct. 1957  
Budapest, Hungary

*March* SOE Monthly Index of East European Accessions (EEAI) LC, Vol. 7, No. 3,  
1958

**"APPROVED FOR RELEASE: 07/16/2001**

**CIA-RDP86-00513R001756030003-6**



**APPROVED FOR RELEASE: 07/16/2001**

**CIA-RDP86-00513R001756030003-6"**

TOLDOY, L.

**Antituberculous agents. I. Thiosemicarbazones and hydrazides.** L. Toldy, T. Némethy, L. Varga, O. Iványics, and I. Kőrösi. *Research Inst. Pharm. Ind., Budapest. Acta Chim. Acad. Sci. Hung.* 4, 303-13 (1954) (in German) (English summary).—Several new thiosemicarbazones and hydrazides were prep'd. and their antituberculous activities tested. Some of the cycloalkyl ethers of  $p\text{-HOCH}_2\text{CH}_2\text{NHNCSNH}_2$  were active but extremely toxic while the hydrazides showed a weak activity compared to isonicotinic acid hydrazide. The following  $p\text{-ROC}_6\text{H}_4\text{CH}_2\text{NHNCSNH}_2$  were prep'd. and tested [R, m.p. (from EtOH), and minimum effective diln. in molar concn. given]: H, —, M/1000; Me, —, M/250000; Pr, —, M/320000; Iso-Pr, —, M/320000; CH<sub>3</sub>CHCH<sub>3</sub>, —, M/320000; Bu, —, M/80000; MeCH<sub>2</sub>CHCH<sub>3</sub>, 183-4°, M/30000; C<sub>6</sub>H<sub>5</sub>, 110-11°, M/80000; CH<sub>3</sub>CH(CH<sub>3</sub>)<sub>2</sub>, 99-101°, M/10000; C<sub>6</sub>H<sub>5</sub>, 107-9°, M/50000; C<sub>6</sub>H<sub>5</sub>, 105-15°, M/25000; C<sub>6</sub>H<sub>5</sub>, 96-7°, inactive; HO<sub>2</sub>CCH<sub>3</sub>, —, inactive in M/10000; PhCH<sub>2</sub>, —, M/40000; cyclohexyl, 182-3°, M/640000; 3-cyclohexen-1-yl, 182-4°, M/320000; 2-(1-cyclohexen-1-yl)ethyl, 143-4°, M/1600000; 2-(1-cyclohexen-1-yl)ethyl, 171-2°, M/40000; 2-(1-cyclohexen-1-yl)ethyl, 139-4°, M/20000; PhCH<sub>2</sub>CHCH<sub>3</sub>, 191-2°, inactive; PhCH<sub>2</sub>, 138-9°, M/30000;  $p\text{-AcNHC}_6\text{H}_4\text{CH}_2\text{NHNCSNH}_2$ , —, M/640000. The following R:NNHCSNH<sub>2</sub> [R, m.p. (from EtOH), minimum effective diln. given]:  $p\text{-O}_2\text{NC}_6\text{H}_4\text{CH}_2\text{CHCH}_3$ , —, M/50000;  $p\text{-MeOC}_6\text{H}_4\text{CH}_2\text{CHCH}_3$ , —, M/160000;  $p\text{-MeOC}_6\text{H}_4\text{CH}_2\text{CHCH}_3$  (Me), —, M/160000;  $p\text{-CH}_3\text{CHCH}_2\text{OC}_6\text{H}_4\text{CH}_2\text{CHCH}_3$  (Me), 141-2°, M/320000;  $4\text{-PhS(O)}_2\text{C}_6\text{H}_4\text{CH}_2\text{CHCH}_3$ , 228-30°, M/40000;  $4\text{-(4-H}_2\text{NCH}_2\text{SO}_2\text{C}_6\text{H}_4\text{CH}_2\text{CHCH}_3$ , 205-7°, M/80000; CH<sub>3</sub>CHCH<sub>3</sub>:N:CH:CCH, —, M/40000; CH<sub>3</sub>:

N:CMs: N:C(NH<sub>2</sub>):CCH, 270° (from AcOH), inactive; quinazoline-8-aldehyde, 250° (decompn.), inactive in M/

10000; CH<sub>3</sub>:N:NP<sub>6</sub>N:CCH, 223° (decompn.), M/320000;  $p\text{-EtS(O)}_2\text{C}_6\text{H}_4\text{CH}_2\text{CH}_2$ , —, M/50000. The hydrazides of the following acids (acid and minimum effective diln. given): isonicotinic acid, M/4000000;  $p\text{-MeOC}_6\text{H}_4\text{CO}_2\text{H}$ , M/5000;  $p\text{-O}_2\text{NC}_6\text{H}_4\text{CO}_2\text{H}$ , inactive at M/50000;  $p\text{-H}_2\text{NC}_6\text{H}_4\text{CO}_2\text{H}$ , M/100000; 2,4-HO(NH<sub>2</sub>)C<sub>6</sub>H<sub>3</sub>CO<sub>2</sub>H, M/50000; nicotinic acid, inactive at M/5000; cinchoninic acid, inactive at M/5000; 2-phenylcinchoninic acid, inactive at M/5000; 2-hydroxycinchoninic acid (I), inactive at M/5000; 8-hydroxy-7-quinolinecarboxylic acid (II), inactive at M/50000; 8-hydroxy-5-nitro-7-quinolinecarboxylic acid (III), 1-quinolinecarboxylic acid (IV), M/5000; 4-hydroxy-1,5-naphthylidene-3-carboxylic acid (V), inactive at M/40000; 5-nitro-3-furanicarboxylic acid (VI), M/40000; thiazole-4-carboxylic acid, inactive at M/5000; 1-amino-4-thiazolecarboxylic acid (VII), M/40000; 1-phenyl-1,2,3-triazole-4-carboxylic acid (VIII), inactive at M/50000. The following hydrazones were prep'd (min effective diln given):  $p\text{-ZNHNCHC}_6\text{H}_4\text{OH}$  (Z = isonicotinoyl), M/840000;  $p\text{-ZNHNCHC}_6\text{H}_4\text{OH}$ , M/1280000; 3,4-MeOHO C<sub>6</sub>H<sub>3</sub>CH<sub>2</sub>NNH<sub>2</sub>, M/1280000;  $p\text{-ZNHNCHC}_6\text{H}_4\text{NHMe}$ , M/2560000;  $p\text{-HOC}_6\text{H}_4\text{CHO}$  (IX) (122.4 g.), 400 ml. MeOH, 91.8 g. CH<sub>2</sub>:CHCH<sub>2</sub>Cl, and 25 g. powd. KOH warmed 13 hrs. at 60°, the mixt. dissd. with H<sub>2</sub>O, the sepd. oil extd. with C<sub>6</sub>H<sub>6</sub>, the ext. dried, evapd., and the residue distd. gave 132.8 g.  $p\text{-CH}_3\text{CHCH}_2\text{OC}_6\text{H}_4\text{CHO}$  (X), b<sub>10</sub> 142°. Similarly, 12.2 g. IX, 23 g. C<sub>6</sub>H<sub>5</sub>Br, 50 ml. EtOH, and 10.5 g. K<sub>2</sub>CO<sub>3</sub> boiled 12 hrs. afforded 18 g.  $p\text{-C}_6\text{H}_5\text{OC}_6\text{H}_4\text{CHO}$ , colorless oil, b<sub>1</sub> 165-70°. Na (3.15 g.) in 150 ml. EtOH treated with 25.81 g. IX followed by 20.62 g. PhCH<sub>2</sub>CHCH<sub>2</sub>Cl, after 3 days at room temp. the sepd. cryst. product filtered, dried,

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$$\text{p-MeCH:CHCH}_2\text{OC}_4\text{H}_9\text{CHO, } b_p 140-4^\circ; \text{p-CH}_3\text{CH}_2\text{CH}_2\text{CH}_2\text{CH:CHCH}_2\text{OC}_4\text{H}_9\text{CHO, } b_p 160-5^\circ; \text{p-CH}_3\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH:CHCH}_2\text{OC}_4\text{H}_9\text{CHO, } b_p 160-5^\circ; \text{p-CH}_3\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH:CHCH}_2\text{OC}_4\text{H}_9\text{CHO, } b_p 160-5^\circ;$$

$\text{CH}_3\text{CH}:\text{CCH}_2\text{CH}_2\text{OCH}_2\text{CHO}$ , b<sub>p</sub> 150–5°. A mixt. of 13 g. X, 30 ml.  $\text{Me}_2\text{CO}$ , 550 ml.  $\text{H}_2\text{O}$ , 350 ml.  $\text{EtOH}$ , and 20 ml. 10% aq.  $\text{NaOH}$  shaken frequently over 3 days at room temp., dild. with  $\text{H}_2\text{O}$  the product filtered and recrystd. gave 3.24 g.  $p\text{-CH}_3\text{CH}:\text{CCH}_2\text{CH}_2\text{OCH}_2\text{CH}(\text{OAc})_2$  (XVII), n<sub>D</sub> 57–9°. Treating 7 g. XVI and 5 g. X with 20 ml. 10% aq.  $\text{NaOH}$ , filtering after 24 hrs., and recrystd., gave 6.5 g.  $p\text{-CH}_3\text{CH}:\text{CCH}_2\text{CH}_2\text{OCH}_2\text{CH}:\text{CHCOCH}:\text{CCH}_2\text{CH}_2\text{OCH}_2\text{CH}:\text{CH}-p'$ , m. 122–4° (from  $\text{EtOH}$ ), which did not react with  $\text{HNHNCNSH}$ ,  $p\text{-ONC}_6\text{H}_4\text{SO}_3\text{CH}_2\text{CH}(\text{OAc})_2$  (7.3 g.) in 100 ml.  $\text{EtOAc}$ . Hydrogenated 50 min. over  $\text{Pd-C}$ , the mixt. filtered, the filtrate evapd. *in vacuo*, and the residue recrystd. from  $\text{EtOH}$  gave 3.38 g.  $p\text{-H}_2\text{N}$  analog, m. 172–3°. The thiosemicarbazones were prepd. from the oxo compounds in the usual manner. Et 2-hydroxycinchoninate (.g.) and 2 ml.  $\text{NH}_4\text{H}_2\text{O}$  boiled 2 hrs. and recrystd. gave I, m. 237–8° (decompn.) [(3.2 g.) in 30 ml. abs.  $\text{EtOH}$  with 3 g.  $\text{H}_2\text{SO}_4$ , refluxed 3.5 hrs., the soln. dild. with 100 ml.  $\text{H}_2\text{O}$ , neutralized with  $\text{Na}_2\text{CO}_3$ , and the ppt. recrystd. gave 2.45 g. (80%) Et ester (XVIII), colorless needles, m. 82–3° (from aq.  $\text{EtOH}$ ). XVIII (1.6 g.) heated 1 hr. on the steam bath with 2.5 ml.  $\text{NH}_4\text{H}_2\text{O}$ , the mixt. dild. with  $\text{H}_2\text{O}$ , filtered, and recrystd. gave 1.45 g. IV, m. 239° (from  $\text{H}_2\text{O}$ ). 8-Hydroxy-7-quinolinecarboxylic acid (XIX) (40.0 g.) in 800 ml.  $\text{EtOH}$  treated dropwise with 80 ml. concd.  $\text{H}_2\text{SO}_4$ , refluxed 18 hrs. on the water bath, the dark yellow soln. poured into 1 l.  $\text{H}_2\text{O}$ , the mixt. adjusted to pH 7–8, exhaustively extd. with  $\text{CHCl}_3$ , the ext. washed with aq.  $\text{Na}_2\text{CO}_3$ , concd. *in vacuo*, and the residue distd. gave 15.5 g. XIX Et ester (XX).

and recrystd. from 100 ml. EtOH gave 10 g.  $\beta$ -P-*CHCl*:  
 $\text{CHClCH}_2\text{CH}_2\text{CHO}$  (XI), m. 90-1°. XI (5 g.) + 60  
ml. EtOAc hydrogenated over Pd-C at atm. pressure at  
room temp. and the product distd. gave a quant. yield of  
 $\beta$ -P-*CHCl*: $\text{CHClCH}_2\text{CH}_2\text{CHO}$ , b. 190-5°. A soln. of 4.6 g. KOH,  
10 g. IX, and 18 g. 2-cyclohexen-1-yl chloride\* (RCI) (R =  
2-cyclohexen-1-yl) in 50 ml EtOH let stand overnight, dild.  
with  $\text{H}_2\text{O}$ , extd. with  $\text{CaH}_2$ , and the ext. distd. yielded 8 g.  
 $\beta$ -P-*CHCl*: $\text{CHClCH}_2\text{CH}_2\text{CHO}$ , b. 155-8°.  $\text{RCH}_2\text{CO}_2\text{Et}$  (XII) (67 g.), 200  
ml. abs. EtOH, and 5 ml. concd.  $\text{H}_2\text{SO}_4$  boiled 3 hrs., concd.  
to 1/3, the sol. in *vacuo*, and dild. with 200 ml.  $\text{H}_2\text{O}$ , the oil extd.  
with  $\text{CaH}_2$ , and the ext. distd. yielded 63 g. XII *Et ester*.  
XIII (63 g.) in 400 ml. abs. EtOH warmed to 50°  
the source of heat removed, the soln. treated over 10 min.  
with 30.75 g. Na, the latter consumed after stirring 1 hr.,  
the warm soln. poured into 1 l.  $\text{H}_2\text{O}$ , extd. with five 200-ml.  
portions of  $\text{Et}_2\text{O}$ , the exts. washed with  $\text{H}_2\text{O}$ , dried, the  
 $\text{Et}_2\text{O}$  evapd., and the residue distd. gave 24 g.  $\text{RCH}_2\text{CH}_2\text{OH}$

(XIV), b<sub>p</sub> 85–7.5°. Similarly prep'd., 50%  $\overline{CH_2.CH_2.CH_2.}$

CH:  $C_6H_5CH_2CHOH$  (XV), b<sub>5</sub> 80–85°. XIV (22 g.) in 50 ml. abs. Et<sub>2</sub>O treated dropwise with 15.7 g. PBr<sub>3</sub> in 20 cc. abs. Et<sub>2</sub>O over 15 min. (ice-cooling), the soln. let stand 1 hr. in ice-water, then washed with 3 × 50 ml. H<sub>2</sub>O and 2% NaHCO<sub>3</sub>, dried, evapd., and distd. gave the corresponding Br compd. (XVI), b<sub>5</sub> 85–90°; the Br compd. from XV similarly, b<sub>5</sub> 85–90°. Both bromides were unstable and were treated immediately after prepn. KOH (3.56 g.), 7.88 g. IX, and 12 g. XVI in 50 ml. EtOH boiled 8 hrs., the mixt. dild. with H<sub>2</sub>O, the oil extd. with C<sub>6</sub>H<sub>6</sub>, the ext. washed with NaOH and H<sub>2</sub>O, dried, evapd. and distd. gave 8.2 g.  $p\text{-}RCH_2CHOC_6H_4CHO$ , b<sub>5</sub> 160–5°. The following compds. were analogously prepd. from IX and the appropriate bromide:  $p\text{-}CH_3CH(CH_3)CHOC_6H_4CHO$ , b<sub>5</sub> 187–94°;

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b.p. 160-3°, m. 87-8° (from  $C_6H_5$ -ligroine). XX (1 g.) in 10 ml. EtOH added dropwise to 3 ml.  $N_2H_4 \cdot H_2O$  in EtOH and the product recrystd. yielded II, m. 140-5° (decompn.) (from EtOH). Et 5-nitro-8-hydroxy-7-quinolinecarboxylic acid (m. 149-50°) with  $N_2H_4 \cdot H_2O$  gave III, m. 220-5° (decompn.) (from EtOH). Similarly were prepd. V, colorless needles, m. above 350° (from  $H_2O$ ); VIII, m. 177-2° (from MeOH); VII, colorless needles, m. 196-7° Et 5-nitro-2-furancarboxylate (XXI) (2.5 g.) in 200 ml. abs. EtOH treated at 0° with 680 mg.  $N_2H_4 \cdot H_2O$ , left 2 days at 0°, the soln. treated with C, the EtOH distd. *in vacuo*, and the residue recrystd. from EtOH gave impure VI which was purified by subliming out unchanged XXI and recrystg. the

residue twice from EtOH, yielding 0.6 g. VI, m. 162-4°. II. Derivatives and analogs of *p*-aminosalicylic acid. L. Vargha, L. Toldy, S. Lendvay, I. Koczka, and C. Ivanovics. *Ibid.* 346-54.—Several derivs. and analogs of 2,4-HO( $H_2N$ ) $C_6H_3$ CO $_2$ H (I) were prepd. and tested for antituberculous activity. All the compds. had weaker activities than I. The following compds. were prepd. (formula and min. effective diln. given): 2,4-HO( $H_2N$ ) $C_6H_3$ CH $_2$ OH (II), inactive at  $M/10000$ ; 2,4-HO(Cl) $C_6H_3$ CO $_2$ H (IIa),  $M/20000$ ; 2,4-HO(Cl) $C_6H_3$ CH $_2$ OH (III), inactive at  $M/10000$ ; 2,4-HO[2,4-HO( $H_2N$ ) $C_6H_3$ CONH] $C_6H_3$ CO $_2$ H (IV),  $M/10000$ ; 2,4-HO[2-HOCC $_6H_4$ CONH] $C_6H_3$ CO $_2$ Et (IVa),  $M/160000$ ; 2,4-HO[1,2- $C_6H_4$ (CO) $_2$ N] $C_6H_3$ CO $_2$ Et (V), in-

active at  $M/10000$ ; 2,4-HO( $BzHN$ ) $C_6H_3$ CO $_2$ H (VI),  $M/10000$ ; 4,2,6- $O_3N$ (HO) $C_6H_3$ CO $_2$ H, inactive at  $M/10000$ ; 4,2,6- $H_3N$ (HO) $C_6H_3$ CO $_2$ H,  $M/80000$ ; *p*-H $_2$ NC $_6H_3$ CH $_2$ CHCO $_2$ H, inactive at  $M/10000$ ; *p*-H $_2$ NC $_6H_3$ CH $_2$ CHCO $_2$ Pr (VII), inactive at  $M/10000$ ; I (control),  $M/640000$ . 2,4-AcO( $O_2N$ ) $C_6H_3$ CH $_2$ Br (4 g.) and 2 g. fused KOAc in 20 ml. AcOH refluxed 2.5 hrs., the mixt. dild. with  $H_2O$ , and recrystd. gave 2.5 g. 2,4-AcO( $O_2N$ ) $C_6H_3$ CH $_2$ OH (VIII), m. 75-6° (from EtOH). Hydrolysis of 3.2 g. VIII in 40 ml. 30% alc. HCl by boiling 4 hrs., the EtOH distd., the residue extd. with  $C_6H_6$ , and the  $C_6H_6$  removed left 2 g. 2,4-HO( $O_2N$ ) $C_6H_3$ CH $_2$ OH (IX), yellow oil; *p*-nitrobenzoate, m. 202-5° (from EtOH). Catalytic reduction of 1.8 g. IX in 50 ml. EtOH with Pd-C (the substance absorbed 925 ml.  $H_2$  in 30 min.), the mixt. filtered, the filtrate concd. *in vacuo*, and the residue recrystd. gave 1.2 g. II, unstable, m. 271-3°.  $LiAlH_4$  (2.3 g.) in 200 ml. abs. Et $_2$ O gradually added with stirring to 4.41 g. IIa in 100 ml. abs. Et $_2$ O, the mixt. refluxed 30 min., unchanged  $LiAlH_4$  destroyed with EtOAc, the soln. decompd. with  $H_2O$  and 10%  $H_2SO_4$ , the Et $_2$ O layer evapd., and the residue recrystd. yielded 2.8 g. III, m. 119-20° (from  $C_6H_6$ ). To 65 g. I Et ester in 800 ml. abs.  $CHCl_3$  was added dropwise with stirring and cooling 72.4 g. 2,4-HO( $O_2N$ ) $C_6H_3$ COCl in 400 ml.  $CHCl_3$  followed by 400 ml. pyridine, the mixt. let stand 2 days at room temp., the  $CHCl_3$  distd. *in vacuo*, the residual mixt. warmed, cooled, the product filtered, washed with 20 ml.  $C_6H_5N$ , treated with 5% HCl, finally washed with  $H_2O$  and EtOH, and repeatedly recrystd. from  $C_6H_5N$  to give 64 g. 2,4-HO[2,4-HO( $O_2N$ ) $C_6H_3$ CONH] $C_6H_3$ CO $_2$ Et (X), m. 251-2°. Hydrogenation of 5 g. X in 250 ml. EtOAc over 10% Pd-C gave the  $H_2N$  compd. (XI), colorless needles, m. 200-1° (from AcOH). Hydrolysis of XI with aq. NaOH gave

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crude IV which, pptd. from  $C_6H_5N$  with abs. EtOH, colorless, decompd.  $242-3^\circ$ . I (3 g.) and 2.0 g. phthalic anhydride (XII) in 150 ml. EtOAc let stand 24 hrs. at room temp., the material filtered, and washed with EtOAc afforded IVa acid, decompd.  $188-90^\circ$  with gas evolution, becoming solid, and then m.  $215-20^\circ$ . I Et ester (3.6 g.) and 3 g. XII in 50 ml. EtOAc let stand overnight, the cryst. product filtered, and washed with EtOAc gave 4.7 g. IVa, m.  $170-80^\circ$  (decompn.). IVa (1 g.) heated 1 hr. at  $200^\circ$  and recrystd. yielded V, m.  $192-3^\circ$  (from AcOH). Benzoylation of 15.3 g. I in aq.  $Na_2CO_3$  gave 20 g. VI, m.  $231-1^\circ$  (from EtOAc).  $p-HO_2CCH:CHC_6H_4NH_2 \cdot HCl$  (5 g.) and 60 ml. PrOH treated 4 hrs. with dry HCl while warming on the water bath, the soln. cooled, the cryst. material filtered, and washed with a little PrOH gave 3 g. VII, HCl, m.  $210^\circ$  (decompn.).

William Braker

TC 07, L.

Antituberculous agents. II. *p*-Aminosalicylic acid and analogues. L. Vargha, I. Toldy, S. Lendvai, I. Kocska, and G. Iványics (Acta chim. Hung., 1954, 8, 345-354).—Deriv. and analogues of *p*-aminosalicylic acid show weaker activities than *p*-aminosalicylic acid. The following compounds are prepared (the smallest active mol. concn. are recorded): 4-nitro-2-acetoxybenzyl acetate,  $C_{17}H_{17}O_6N$ , m.p. 75-78°, 4-nitro-, an oil (*p*-nitrobenzoate,  $C_{17}H_{15}O_6N$ , m.p. 202-205°), 4-amino-,  $C_7H_9O_3N$ , m.p. 271-273°, and 4-chloro-2-hydroxybenzyl alcohol,  $C_7H_9O_3Cl$ , m.p. 118-120°. Et *p*-N-*p*-nitrosalicyloylamido-,  $C_{17}H_{15}O_6N$ , m.p. 251-252°. Et *p*-N-*p*-aminosalicyloylamido-salicylate,  $C_{17}H_{15}O_6N$ , m.p. 200-201° [act.,  $C_{17}H_{15}O_6N$ , decomp. 242-243°]. N-*o*-carboxybenzoyl-*p*-amino-salicylic acid,  $C_{17}H_{15}O_6N$ , m.p. 188-190° (decomp.) and 215-220° after resolidification [Et ester,  $C_{17}H_{15}O_6N$ , m.p. 178-180° (decomp.) (inactive at  $M/10000$ )]. Et *p*-phthalimidosalicylate,  $C_{17}H_{15}O_6N$ , m.p. 192-193°, *p*-benzamidosalicylic acid,  $C_{17}H_{15}O_6N$ , m.p. 230-231° (decomp.) (inactive at  $M/10000$ ), propyl *p*-aminocinnamate (hydrochloride,  $C_{17}H_{17}O_3NCl$ , m.p. 210° (decomp.)). H. WERN.

Organic Chemistry

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Substances with tuberculostatic effects. 1. Onit  
 Clauder, Lajos Tolly, and Imre Fabricius (Chem. Factory  
 G. Richter, Budapest). *Magyar Kém. Lapja* 4, 506 (1942)  
 (1949).—Drugs used in tuberculosis therapy belong to 3  
 groups: chemotherapeutic prepn., antibiotics, and sero-  
 therapeutic prepn. Substances belonging to the 1st group  
 were prepn., and the tuberculostatic effects of some are  
 in the primary amines and the NH<sub>2</sub> group were investigated. The  
 the para position to the NH<sub>2</sub> group were investigated. The  
 experience gained was utilized in the actual production of  
 same derivs. of 4,2-H<sub>2</sub>N(HO)C<sub>6</sub>H<sub>3</sub>CO<sub>2</sub>H and of 2,4-Cl-  
 (H<sub>2</sub>N)C<sub>6</sub>H<sub>3</sub>CO<sub>2</sub>H. 4-Nitro-2-aminobenzoic acid (I), m. 201°  
 (from EtOH), was obtained in 95% yield by boiling 20 g. 4,2-  
 O<sub>2</sub>N(AcNH)C<sub>6</sub>H<sub>3</sub>CO<sub>2</sub>H in 75 ml. EtOH with 300 ml. 20%  
 H<sub>2</sub>SO<sub>4</sub>. 1 (10-2 g.) was also prepd. by stirring 24 g. active Cl.  
 ml. 25% NaOH, powdered chlorinated lime contg. 2-3 hrs. at 30°  
 and 50 g. powder. 4-nitrophthalimide, stirred 2-3 hrs. at 30°  
 40°, then heating to 80°, and adding HCl. 4-Nitrosalicylic  
 acid (II) (70-80%), m. 224-6°, was prepd. by treating 60 g.  
 I with 42 g. anhyd. Na<sub>2</sub>CO<sub>3</sub> in 500 ml. water, dilg. with  
 water to 1500 ml., adding 1 kg. ice and a freshly-prepd.  
 satd. aq. soln. contg. 23.2 g. NaNO<sub>2</sub>, then adding cautiously  
 a cooled soln. of 78 ml. concd. H<sub>2</sub>SO<sub>4</sub> in 300 ml. water, next  
 adding 0.5 kg. ice, stirring 1 hr., adding cautiously 100 g.  
 cryst. CuSO<sub>4</sub> in 500 ml. hot water over a period of 90 min.  
 at 90-100°, boiling 30 min., and crystg. from 50% EtOH.  
 4-Nitrosalicyloyl chloride (III) (100%), m. 81-2° (from petr.  
 ether), was obtained by heating 91.5 g. II, 270 ml. SOCl<sub>2</sub>,  
 and 0.2 g. anhyd. AlCl<sub>3</sub> on a water bath (60-90 min.). Pr  
 4-nitrosalicylate (IV), m. 30-2° (from EtOH), was obtained  
 in almost 100% yield by treating III with excess PrOH  
 1 hr. on a water bath, dissolving the oily product in C<sub>6</sub>H<sub>6</sub>,  
 and distg. at 150-5° and 3 mm. Pr 4-aminosalicylate (V),  
 m. 104-5° (from EtOH), was obtained in 13-g. yield by  
 hydrogenating 20 g. IV in 100 ml. EtOAc with Raney Ni,  
 filtering, and distg. in vacuo below 50°. V was also prepd. by  
 boiling 42 g. Fe filings, 130 ml. 70% EtOH, and 2.6 ml.

concd. HCl several min., slowly adding 40 g. IV, neutraliz-  
 ing with alkali carbonate, and filtering. V.HCl, m. 173-4°.  
 Pr 4-acetamidosalicylate, m. 139-40° (from EtOH), was ob-  
 tained from V with Ac<sub>2</sub>O in C<sub>6</sub>H<sub>6</sub>. Pr 4-propionylamino-  
 salicylate, m. 151°, was obtained from V in C<sub>6</sub>H<sub>6</sub> with  
 Et<sub>2</sub>CO. Pr 4-benzamidosalicylate, m. 103-5° (from EtOH),  
 was obtained by benzoylating V in C<sub>6</sub>H<sub>6</sub> in the pres-  
 ence of C<sub>6</sub>H<sub>5</sub>N. Pr 4-phthaloylamino-salicylate, m. 144-5°  
 (from oxalic ester), was obtained by heating V 1 hr. with  
 an equiv. amt. of o-C<sub>6</sub>H<sub>4</sub>(CO<sub>2</sub>H)<sub>2</sub> and some C<sub>6</sub>H<sub>5</sub>N in C<sub>6</sub>H<sub>6</sub>.  
 Bu 4-nitrosalicylate (VI), b. 100-6°, was obtained in 90%  
 yield by boiling 200 g. II, 500 ml. BuOH, 400 ml. C<sub>6</sub>H<sub>6</sub>, and  
 20 ml. concd. H<sub>2</sub>SO<sub>4</sub> in a special distn. app. 6 hrs. Bu 4-  
 aminosalicylate (VII), m. 95° (from EtOH), was obtained  
 by treating VI as described for V. Bu 4-aminosalicylate-  
 HCl, m. 151-5°, was obtained by treating VII with dry  
 HCl. Bu 4-acetamidosalicylate, m. 118-20° (from EtOH),  
 was obtained from VII in C<sub>6</sub>H<sub>6</sub> with Ac<sub>2</sub>O. Bu 4-propionyl-  
 aminosalicylate, m. 120-2° (from EtOH), was obtained from  
 VII in C<sub>6</sub>H<sub>6</sub> with Et<sub>2</sub>CO. Iso-Bu 4-aminosalicylate (VIII),  
 m. 48-52° (from EtOH), was obtained from VIII as  
 described for V. Ph 4-nitrosalicylate (IX), m. 148-50°  
 (from glacial AcOH or oxalic ester), was obtained by adding  
 20.1 g. III in 15 ml. dry CHCl<sub>3</sub> to 9.4 g. PhOH in 15 ml. dry  
 CHCl<sub>3</sub> and 9 ml. abs. C<sub>6</sub>H<sub>5</sub>N, heating on a water bath 2  
 hrs., cooling, and filtering. Ph 4-aminosalicylate, m. 148°  
 (from dil. EtOH), was obtained by hydrogenating 5 g. IX  
 in 40 ml. dioxane at 60° with Raney Ni, filtering, treating  
 with dry HCl, again filtering, heating the ppt. with 30 ml.

over

[illegible]

~~EXPERIMENTAL SYNTHESIS AND PROPERTIES~~

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was to be 9.9  $\mu\text{g./kg.}$  Adrenaline (40  $\mu\text{g./kg.}$ ) administered 3-8 min. before apomorphine injection raised the  $\text{ED}_{50}$  to 13  $\mu\text{g./kg.}$ , indicating a delayed inhibitory effect on the response of the vomiting centre to apomorphine. When adrenaline was administered during the latent period of emetic action following apomorphine injection, the frequency of vomiting rose to 2.75 as compared with a control value of 1.25, this representing an immediate effect of adrenaline.

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(PREGNANCY)

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Tijdens - Maastricht